```
Welcome to STN International! Enter x:x
LOGINID: ssptasxm1624
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2
* * * * * * * * * * Welcome to STN International
                                                        * * * * * * * * * *
NEWS 1
                   Web Page for STN Seminar Schedule - N. America
NEWS 2 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches
                   Zentralblatt
NEWS 3 OCT 19 BEILSTEIN updated with new compounds
NEWS 4 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 5 NOV 19 WPIX enhanced with XML display format
NEWS 6 NOV 30 ICSD reloaded with enhancements
NEWS 7 DEC 04 LINPADCOEM now available on STN
NEWS 8 DEC 14 BEILSTEIN pricing structure to change
NEWS 9 DEC 17 USPATOLD added to additional database clusters
NEWS 10 DEC 17 IMSDRUGGONF removed from database clusters and
                  IMSDRUGCONF removed from database clusters and STN
                  DGENE now includes more than 10 million sequences
 NEWS 12 DEC 17
                  TOXCENTER enhanced with 2008 MeSH vocabulary in
                  MEDLINE segment
NEWS 13 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
 NEWS 14 DEC 17 CA/Caplus enhanced with new custom IPC display formats
 NEWS 15 DEC 17 STN Viewer enhanced with full-text patent content
                   from USPATOLD
NEWS 16 JAN 02
                  STN pricing information for 2008 now available
NEWS 17 JAN 16 CAS patent coverage enhanced to include exemplified
                   prophetic substances
NEWS 18 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new
                  custom IPC display formats
 NEWS 19 JAN 28 MARPAT searching enhanced
 NEWS 20 JAN 28 USGENE now provides USPTO sequence data within 3 days
                  of publication
NEWS 21 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
 NEWS 22 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
 NEWS 23 FEB 08 STN Express, Version 8.3, now available
NEWS 24 FEB 20 PCI now available as a replacement to DPCI
NEWS 25 FEB 25 IFIREF reloaded with enhancements
NEWS 26 FEB 25 IMSPRODUCT reloaded with enhancements
NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008
 NEWS HOURS
               STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN
               Welcome Banner and News Items
               For general information regarding STN implementation of IPC 8
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 12:25:05 ON 26 FEB 2008

=> fil capl

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.21 0.21

FILE 'CAPLUS' ENTERED AT 12:25:21 ON 26 FEB 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 26 Feb 2008 VOL 148 ISS 9 FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)

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=> fil rea

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.48 0.69

FILE 'REGISTRY' ENTERED AT 12:25:23 ON 26 FEB 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0 DICTIONARY FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10566291.str

```
chain nodes :
7 8 9 10 11 15 17 18 19
ring nodes :
1 2 3 4 5 6 23 24 25 26 27 28 29 30 31 32 33 34
chain bonds :
2-17 5-7 7-8 8-9 9-10 9-15 10-11 17-18 18-19 19-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-28 24-25 25-26 26-27 27-28 29-30
29-34 30-31 31-32 32-33 33-34
exact/norm bonds :
2-17 5-7 7-8 9-10 9-15 10-11 17-18 18-19 19-29
exact bonds :
8-9
normalized bonds :
isolated ring systems :
containing 1 : 23 :
```

G1:C,O,S

G2:C,H

G3:C,0

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 15:CLASS 17:CLASS 18:CLASS 19:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom

#### L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS L1 STR

G1 C,O,S G2 C,H G3 C,O

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

SAMPLE SEARCH INITIATED 12:25:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 311610 TO ITERATE

0.6% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*

BATCH \*\*INCOMPLETE\*\*
PROJECTED ITERATIONS: 6199834 TO 6264566
PROJECTED ANSWERS: 5173 TO 7291

L2 2 SEA SSS SAM L1

=> log h

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

2 ANSWERS

FULL ESTIMATED COST 0.46 1.15

SESSION WILL BE HELD FOR 120 MINUTES STN INTERNATIONAL SESSION SUSPENDED AT 12:26:06 ON 26 FEB 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptasxm1624

#### PASSWORD:

\* \* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \* SESSION RESUMED IN FILE 'REGISTRY' AT 12:28:28 ON 26 FEB 2008 FILE 'REGISTRY' ENTERED AT 12:28:28 ON 26 FEB 2008

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COST IN U.S. DOLLARS FULL ESTIMATED COST	SINCE FILE ENTRY 0.46	TOTAL SESSION 1.15
=> fil reg COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.92	1.61

FILE 'REGISTRY' ENTERED AT 12:29:11 ON 26 FEB 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0 DICTIONARY FILE UPDATES: 25 FEB 2008 HIGHEST RN 1005378-46-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\Stnexp\Queries\10566291.str

```
1 2 3 4 5 6 23 24 25 26 27 28 29 30 31 32 33 34
chain bonds :
2-17 5-7 7-8 8-9 9-10 9-15 10-11 17-18 18-19 19-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-28 24-25 25-26 26-27 27-28 29-30
29-34 30-31 31-32 32-33 33-34
exact/norm bonds :
2-17 5-7 7-8 9-10 9-15 10-11 17-18 18-19 19-29
exact bonds :
8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 23-24 23-28 24-25 25-26 26-27 27-28 29-30
29-34 30-31 31-32 32-33 33-34
isolated ring systems :
containing 1 : 23 :
G1:C,O,S
G2:C, H
```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 15:CLASS 12:CLASS 19:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 33:Atom 33:Atom 34:Atom

G3:C,O Match level :

chain nodes :

ring nodes :

7 8 9 10 11 15 17 18 19

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

0 ANSWERS

67 ANSWERS

Structure attributes must be viewed using STN Express query preparation.

=> s 13 sam

SAMPLE SEARCH INITIATED 12:29:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9989 TO ITERATE

20.0% PROCESSED 2000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 193790 TO 205770
PROJECTED ANSWERS: 0 TO 0

I.4 0 SEA SSS SAM I.3

=> s 13 full

FULL SEARCH INITIATED 12:29:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 201119 TO ITERATE

100.0% PROCESSED 201119 ITERATIONS SEARCH TIME: 00.00.03

L5 67 SEA SSS FUL L3

=> d scan

L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Benzenepropanoic acid, 2-ethyl-4-[3-[2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester

MF C29 H32 F3 N O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L5

67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(2-pyridinyl)-4-IN (trifluoromethyl)phenoxy]butoxy]-

MF C26 H26 F3 N O4

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Benzenepropanoic acid, 4-[(3S)-3-[4-ethy1-2-(2-pyridiny1)phenoxy]butoxy]-2methyl-, methyl ester C28 H33 N O4

MF

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 67 ANSWERS

67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN Benzenepropanoic acid, 4-[2-[(3-phenyl-6-benzofuranyl)oxy]ethoxy]-2-[[(2-IN pyridinylcarbonyl)amino]methyl]-C32 H28 N2 O6

MF

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

Benzenepropanolc acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,R\*)- (9CI) C26 H30 N2 O2 IN

MF

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

- IN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[6-[[4-(1,1-dimethylethyl)-2-thiazolyl]methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]-, ethyl ester (9CI)
- MF C33 H36 C1 N3 O7 S2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5

67 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN Benzenepropanoic acid, 2-ethyl-4-[[(3S)-3-[4-ethyl-2-(2-IN pyridinyl)phenoxy]butyl]thio]-C28 H33 N O3 S

MF

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil capl SINCE FILE COST IN U.S. DOLLARS TOTAL. ENTRY SESSION FILL ESTIMATED COST 178.82 180.43

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=> d histr

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y) /N:n

=> s 15 not (2008/so or 2007/so or 2006/so or 2005/so) 14 L5 95777 2008/SO 870550 2007/SO

> 930316 2006/SO 882187 2005/SO

13 L5 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2005/SO)

=> s 15

L7 14 L5

=> fil capl COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 10.88 191.31

FILE 'CAPLUS' ENTERED AT 12:33:34 ON 26 FEB 2008 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 26 Feb 2008 VOL 148 ISS 9
FILE LAST UPDATED: 25 Feb 2008 (20080225/ED)
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http://www.cas.org/infopolicy.html

=> d 19 ibib hitstr abs 1-13

```
=> s 15

L8 14 L5

=> s 18 not (2008/so or 2007/so or 2006/so or 2005/so)

95777 2008/so

970505 2007/so

930316 2006/so

982187 2005/so

L9 13 L8 NOT (2008/so OR 2007/so OR 2006/so OR 2005/so)
```

1.9 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1470010 CAPLUS

DOCUMENT NUMBER: 148:100384

TITLE: Preparation of 1,3-diphenylpropane derivatives, particularly 2-[4-(3-oxo-3-phenylpropyl)phenoxy]-2methylpropanoic acids and related derivatives, as PPAR

agonists for treating diseases especially dyslipidemia Delhomel, Jean-Francois; Hanf, Remy; Caumont-Bertrand,

Karine PATENT ASSIGNEE(S): Genfit, Fr.

SOURCE: PCT Int. Appl., 97pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

INVENTOR(S):

PATENT	KIN	D	DATE				ICAT		DATE							
	2007147880				A1 20071227							20070621				
W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
	CH.	CN.	co.	CR.	CU.	CZ,	DE.	DK.	DM.	DO.	DZ.	EC.	EE.	EG.	ES.	FI.
						GT,										
						LA,										
						MY.										
	PT.	RO.	RS.	RU.	SC.	SD,	SE.	SG.	SK.	SL.	SM.	sv.	SY.	TJ.	TM.	TN.
						US,										
RW	AT.												GB,	GR,	HU,	IE,
	ıs.	IT.	LT.	LU.	LV.	MC,	MT.	NL.	PL.	PT.	RO.	SE.	SI.	SK.	TR.	BF.
						GA,										
						MZ,										
				TJ,										,		
FR 290									FR 2	006-	20060621					
PRIORITY AP						FR 2	006-	5540	A 20060621							
OTHER SOURC	E(S):			MAR	PAT	148:	1003	84								
IT 100033									vrid	in-3	-v1):	meth	oxvl	-3-1	4-	
(trifl																

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of 1,3-diphenylpropane derivs. as PPAR

activators for treating diseases especially dyslipidemia) RN 1000336-74-2 CAPLUS

CN Propanoic acid, 2-[2,6-dimethyl-4-[3-(3-pyridinylmethoxy)-3-[4-(trifluoromethoxy)phenyl]propyl]phenoxy]-2-methyl- (CA INDEX NAME)

$$X^{1}$$
 $X^{2}$ 
 $X^{4}$ 
 $X^{5}$ 
 $X^{5}$ 
 $X^{5}$ 
 $X^{5}$ 
 $X^{5}$ 
 $X^{6}$ 
 $X^{6}$ 
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 $X^{7}$ 
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 $X^{2}$ 
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 $X^{5}$ 
 $X^{5}$ 
 $X^{7}$ 
 $X^{7$ 

Title compds. I [X1 = halo, R1, G1R1; X2 = halo, R2, G2R2; X3 = R3, G3R3; X4 = halo, R4, G4R4; X5 = R5, G5R5; R1 = haloalkyl; R2 = H, alkyl; R3-R5 =independently H, (un) substituted alkyl; G1-G5 = independently O, S; with at least one of X3-X5 = R3, G3R3, R4, G4R4, R5, G5R5 in which G3-G5 = defined as above and R3-R5 = independently alkyl substituted with 1-2 substituents selected from CO2H and derivs., CONH2 and derivs., SO3H, SO2NH2 and derivs.; A = CR6R7, CO, C:N-OH, C:N-OR8; R6 = H, alkyl, OR8; R7 = alkyl, OH, OR8; R8 = independently alkyl substituted with an aryl or cycloalkyl group; D = CH2, CHY; Y = O- or S-heterocycle; and their stereoisomers, racemates, geometrical isomers, tautomers, salts, hydrates, solvates, solid forms and their mixts.] were prepared as PPAR activators, especially agonists, for treating dyslipidemia, diabetes type II and related diseases. Thus, reduction of 2-[2,6-dimethyl-4-[3-[4-(trifluoromethylthio)phenyl]-3-oxoprop-1-enyl]phenoxy]-2-methylpropanoic acid with triethylsilane in DCM in the presence of TFA at room temperature gave the acid II (m.p. = 83-85°). Selected I were hPPARa, hPPARy, and/or hPPAS activators in an induced luciferase activity via hPPARα/Gal4, hPPARγ/Gal4, and hPPARδ/Gal4 transactivation assay. I displayed hypolipemic properties by lowering the plasmatic cholesterol and triglycerides rates. I are useful for treating diabetes type II, dyslipidemia, pathologies associated with metabolic syndrome, cardiovascular diseases, etc.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:182607 CAPLUS

DOCUMENT NUMBER: INVENTOR(S):

142:279949

TITLE:

Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan

Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg

PATENT ASSIGNEE(S): Eli Lilly and Company, USA SOURCE: Patent.

PCT Int. Appl., 603 pp. CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIND DATE					APPL		ION		DATE					
	WO 2005019151						_	2005	0303							20040817				
		W:						AU,												
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
								ID,												
								LV,												
								PL,												
								TZ,												
		RW:						MW,												
								RU,												
								GR,												
						BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,		
	03	2536		TD,	TG	A1		2005	0202		CA 2	004	2526	000		2	0010	017		
		1660				A1		2005			EP 2	004-	2220	42		2	0040	017		
	EP	R:			CH			ES,												
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	.TP	2007			ьт,	T,		2007			JP 2					2	0040	817		
		2006						2006												
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					• •						WO 2	0.04-	US24	381		W 2	0040	817		
OTHE	R S	OURCE	(S):			MARI	PAT	142:	2799											
IT	84	7345-	57-7	P, 3	-[4-	[[(S	) -3-	-[4-E	thyl-	-2-(	pyri	din-	2-							
	y1;	phen	oxy]	buty	l]ox	y]-2	-met	hylp	heny	l]pr	opio	nic	acid	847	345-	60-2	P			
		3-[4-																		
		hylp																		
		(pyri												ropi	onic	aci	d			
		7345-															_			
		phen																		
		(R) -3																		
		hylp (pvri															_			
		7349-														1u				
		phen																		
															vlnh	envl	lovv	]butoxv		
		nenvl															Jong	, sacon,		
		/ridi															nic	acid		
		7349-																		
		ifluo																		
		7349-																		
		ifluo												cid						
	84	7349-	37-5	P, (	R) –3	- [4-	[3-	4-Ch	loro	-2-(	pyri	din-	4-							

yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847349-43-3P, (R)-3-[2-Ethyl-4-[3-[2-[pyridin-3-y]-]-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid 847351-60-4P, (S)-3-[2-Ethyl-4-[13-[4-ethyl-2-(pyridin-2-y])phenoxy]butyl]aulfanyl]phenyl]propionic acid 847352-14-1P, (R)-3-[4-[3-[4-Ethyl-2-(pyridin-2-y])phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-15-2P, (R)-[14-[3-[4-Ethyl-2-(pyridin-2-y])phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-16-8, (R)-3-[4-[3-[4-Chloro-2-(pyridin-2-y])phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-17-4P, (R)-3-[4-[3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-18-P, (R)-3-[4-[3-[4-Ethyl-2-(pyridin-3-yl)phenoxy]butoxy]-2-methylphenyl]propionic acid 847352-18-P, (R)-3-[4-[3-[4-Ethyl-2-(pyridin-4-yl)phenyl]propionic acid 847

(PPAR agonist; preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists)

RN 847345-57-7 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

## Absolute stereochemistry.

RN 847345-60-2 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2methyl- (CA INDEX NAME)

RN 847345-63-5 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(4-pyridinyl)phenoxy]butoxy]-2methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 847345-65-7 CAPLUS

CN Benzenepropanoic acid, 4-[(3S)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]2-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 847347-31-3 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

- RN 847348-30-5 CAPLUS
- CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(3-pyridinyl)phenoxy]butoxy]2-methyl- (CA INDEX NAME)

### Absolute stereochemistry.

- RN 847349-20-6 CAPLUS
- CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]- (CA INDEX NAME)

RN 847349-23-9 CAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 847349-26-2 CAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[(3R)-3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

RN 847349-30-8 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

RN 847349-32-0 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

## Absolute stereochemistry.

RN 847349-37-5 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl- (CA INDEX NAME)

#### Absolute stereochemistry.

RN 847349-43-3 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 847351-60-4 CAPLUS
- CN Benzenepropanoic acid, 2-ethyl-4-[[(3S)-3-[4-ethyl-2-(2pyridinyl)phenoxy]butyl]thio]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 847352-14-1 CAPLUS
- CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2methyl- (CA INDEX NAME)

RN 847352-15-2 CAPLUS

CN Acetic acid, [[4-[(3R)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2methylphenyl]thio]- (9CI) (CA INDEX NAME)

### Absolute stereochemistry.

RN 847352-16-3 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]2-methyl- (CA INDEX NAME)

# Absolute stereochemistry.

RN 847352-17-4 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2methyl- (CA INDEX NAME)

Absolute stereochemistry.

- 847352-18-5 CAPLUS RN
- CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethvl-2-(4-pvridinvl)phenoxy]butoxv]-2methyl- (CA INDEX NAME)

Absolute stereochemistry.

847345-59-9P, 3-[4-[[(S)-3-[4-Ethv1-2-(pyridin-2-

847345-62-4P, 3-[4-[[(S)-3-[4-Ethyl-2-(pyridin-3-

yl)phenoxy]butyl]oxy]-2-methylphenyl]propionic acid methyl ester 847345-67-9P, 3-[4-[[(S)-3-[4-Chloro-2-(pyridin-2v1)phenoxy|butv1|oxy|-2-methylphenyl|propionic acid methyl ester 847347-32-4P, (R)-3-[4-[3-[4-Ethvl-2-[(pvridin-2y1)carbony1]phenoxy]butoxy]-2-methy1pheny1]propionic acid methy1 ester 847349-22-8P, 3-[2-Ethyl-4-[3-[4-ethyl-2-(pyridin-2yl)phenoxy]butoxy]phenyl]propionic acid ethyl ester 847349-25-1P , 3-[2-Methyl-4-[3-[[2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]p henvllpropionic acid methyl ester RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of alkoxyphenylalkanoic acids and analogs as PPAR

v1)phenoxy|butv1|oxy|-2-methy|pheny||propionic acid methyl ester

- agonists)
- RN 847345-59-9 CAPLUS
- CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(2-pyridinyl)phenoxy]butoxy]-2-

methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

- RN 847345-62-4 CAPLUS
- CN Benzenepropanoic acid, 4-[(3S)-3-[4-ethyl-2-(3-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

- RN 847345-67-9 CAPLUS
- CN Benzenepropanoic acid, 4-[(3S)-3-[4-chloro-2-(2-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

RN 847347-32-4 CAPLUS

CN Benzenepropanoic acid, 4-[(3R)-3-[4-ethyl-2-(2-pyridinylcarbonyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

#### Absolute stereochemistry.

RN 847349-22-8 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[3-[4-ethyl-2-(2pyridinyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

RN 847349-25-1 CAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O-CH-CH}_2\text{-CH}_2\text{-O} \\ \\ \text{N} \end{array}$$

IT 847349-29-5, 3-[2-Methyl-4-[3-[2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid methyl ester 847349-31-9, 3-[2-Ethyl-4-[3-[(2-(pyridin-2-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid ethyl ester 847349-33-1, [R)-3-[2-Ethyl-4-[3-[(2-(pyridin-4-yl)-4-trifluoromethylphenyl]oxy]butoxy]phenyl]propionic acid ethyl ester 847349-40-0, 3-[4-[3-[4-Chloro-2-(pyridin-4-yl)]phenoxy]butoxy]-2-methylphenyl]propionic acid methyl ester 847349-45-5, 3-[2-Ethyl-4-[3-[(2-(pyridin-3-yl)-4-trifluoromethylphenyl]oxy]butoxy]phen yl]propionic acid ethyl ester RI: RCT (Reactant); RACT (Reactant or reagent) (preparation of alkoxyphenylalkanoic acids and analogs as PPAR agonists) RN 847349-29-5 CAPLUS

CN Benzenepropanoic acid, 2-methyl-4-[3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O} \\ \text{CH}_2\text{-CH}_2\text{-C} \\ \text{OMe} \end{array}$$

RN 847349-31-9 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[3-[2-(2-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

RN 847349-33-1 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[(3R)-3-[2-(4-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME) Absolute stereochemistry.

RN 847349-40-0 CAPLUS

CN Benzenepropanoic acid, 4-[3-[4-chloro-2-(4-pyridinyl)phenoxy]butoxy]-2-methyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{O-CH-CH}_2\text{-CH}_2\text{-O} \\ \text{Cl} \end{array}$$

RN 847349-45-5 CAPLUS

CN Benzenepropanoic acid, 2-ethyl-4-[3-[2-(3-pyridinyl)-4-(trifluoromethyl)phenoxy]butoxy]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{Et} & \text{O} \\ \text{CH}_2 - \text{CH}_2 - \text{C} - \text{OEI} \\ \text{F}_3 \text{C} & \text{N} \end{array}$$

GI

$$x = \frac{E}{F} \int_{G}^{H} [R^3]_n$$

AB Title compds. I [wherein B = -A1-CR4R5-0; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1 = a bond, CH2, O, S, and wherein Aland R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.; Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un) substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl; R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 µM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

REFERENCE COUNT: 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964313 CAPLUS

DOCUMENT NUMBER: 138:55745

TITLE: Preparation of substituted 3-phenyl-2-alkoxypropanoic

acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of

diabetes and related conditions

INVENTOR(S): Brooks, Dawn Alisa; Warshawsky, Alan M.;

Montrose-Rafezadeh, Chahrzad; Reifel-Miller, Anne; Frieto, Lourdes; Rojo, Isabel; Martin, Jose Alfredo; Gonzales Garcia, Maria Rosario; Torrado, Alicia; Ferritto Crespo, Rafael; Lamas-Peteira, Carlos; Martin-Orteqa Finger, Maria; Ardecky, Robert J.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Ligand Pharmaceuticals Incorporated

SOURCE: PCT Int. Appl., 458 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						KIND DATE			APE	LICA	DATE								
WO	2002	2002100813 2002100813					A2 20021219			WO	2002	20020530								
							AT, AU, AZ,			BE	BG BG	BR,	BY,	BZ,	CA	, CH,	CN,			
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE	ES,	FI,	GB,	GD	, GE,	GH,			
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG	KP,	KR,	KZ,	LC	, LK,	LR,			
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	I, MW	MX,	MZ,	NO,	NZ	, OM,	PH,			
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SF	, SL	TJ,	TM,	TN,	TR	, TT,	TZ,			
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZV	ī									
	RW:															, AZ,				
																, FR,				
												. ВJ,	CF,	CG,	CI	, CM,	GA,			
						ML, MR, NE, SN,														
CA	2449	2449256 A1						20021219 CA 2002-2449256								20020530				
AU	2002	3121	47		A1		2002	1223		ΑU	2002		20020530							
EE	2004	0000	1		A		2004	0216	EE 2004-1							20020530				
EP								EP 2002-739503												
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	, IT	LI,	LU,	NL,	SE	, MC,	PT,			
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	ΑI	, TR									
BR	2002	0101	90		A		2004	0406		BR	2002		20020530							
CN	1543	451			A		2004	1103		CN	2002		20020530							
HU	2004	0002	80		A2		2005	0128		HU	2004		20020530 20020530 20020530 20020530							
HU	2004	0002	80		A3		2006	0130												
JP	2005	5095	90		T		2005	0414		JP	2003		20020530							
NZ	5293	51			A		2006	0127		NZ	2002		20020530 20031110							
IN	2003	KN01	456		A					IN	2003	-KN14		20031110						
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US	2005 7192	0206	84		A1		2005	0127	US 2003-479262							20031	201			
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MX	2003	PA11	201		A		2004	0226		MX 2003-PA11201 US 2006-637223 US 2001-297144P					20031204					
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										WO	2002	-US16	950		W	20020	530			
							US	2003	-4/92	62		AI	20031	201						

OTHER SOURCE(S): MARPAT 138:55745 IT 477982-80-2P, (2S)-2-Methoxy-3-[4-[3-[4-(pyridin-3-

yllphenoxy|propoxy|phenyl|propionic acid 477982-81-3P, (2S)-2-Methoxy-3-[4-[3-[4-(pyridin-4-yl)phenoxy]propoxy|phenyl]propionic acid 477984-02-4P, (2S)-2-Methoxy-3-[4-[2-[4-(pyridine-3-carbonyl)amino|phenoxy|ethoxy|phenyl]propionic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR modulator; preparation of substituted (phenyl)(alkoxy)propanoic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

RN 477982-80-2 CAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[3-[4-(3-

pyridinyl)phenoxy]propoxy]-, (aS)- (CA INDEX NAME)

Absolute stereochemistry.

RN 477982-81-3 CAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[3-[4-(4-pyridinyl)phenoxy]propoxy]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.

RN 477984-02-4 CAPLUS

CN Benzenepropanoic acid, α-methoxy-4-[2-[4-[(3pyridinylcarbonyl)amino]phenoxy]ethoxy]-, (αS)- (CA INDEX NAME)

GΙ

AB Title compds. I [wherein Ar = (un)substituted arvl; Q = covalent bond, CH2, CH2CH2, CH2CH2CH2, or CH2CH2CH2CH2; W = (un)substituted (hetero)alkylene from 2-10 atoms in length in which 1 or more methylene groups have been replaced with CH=CH, C.tplbond.C, O, CO, NR7, NR7CO, C(=NOH), S, SO, SO2, or CHNR7R8; ring A is optionally substituted with up to 4 substituents in addition to R1; R1 = (CH2)nCH(OR2)(CH2)mE, CH=C(OR2)(CH2)mE, (CH2)nCHY(CH2)mE, or CH=CY(CH2)mE; E = CO2R3, alkylnitrile, carboxamide, or (un) substituted sulfonamide, acylsulfonamide, or tetrazole; R2 = H, haloalkyl, COR4, CO2R4, CONR5R6, CSR4, CSOR4, CSNR5R6, or (un)substituted aliphatic group, aralkyl, or aryl; Y = 0, CH2, CH2CH2, or CH=CH bonded ortho to R1 on ring A; R3-R8 = independently H or (un) substituted aliphatic group or aryl; m and n = independently 0-2; or pharmaceutically acceptable salts, hydrates, stereoisomers, or solvates thereof] were prepared by solution phase and solid phase synthetic methods as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, (S)-2-methoxy-3hydroxyphenylpropanoic acid Et ester was treated with Ph triflimide to give the 4-trifluoromethanesulfonyloxyphenyl derivative (97%). Substitution with propargyl alc. in the presence of PdC12(PPh3)2 and TEA in DMF afforded the 4-(3-hydroxyprop-1-ynyl)phenyl intermediate (32%), which was

ΙI

coupled with 4-phenylphenol using the Mitsunobu procedure to give II. Binding and cotransfection studies showed that many of the compds. of the invention are selective PPARy agonists or PPARa/PPARy co-agonists (no data). Thus, I are useful for the treatment of hyperglycemia, dyslipidemia, Type I or II diabets, hypertriglyceridemia, syndrome X, insulin resistance, heart failure, diabetic dyslipidemia, hyperlipidemia, hypercholesteremia, hypertension, obesity, anorexia bulimia, polycystic ovarian syndrome, anorexia nervosa, cardiovascular disease or other diseases where insulin resistance is a component (no data).

L9 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964190 CAPLUS

DOCUMENT NUMBER: 138:39272

TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and

related conditions

INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry,
James Robert; Jones, Winton Dennis, Jr.; Matthews,
Donald Paul; Shen, Ouan Rong; Smith, Darvl Lynn;

Vance, Jennifer Ann; Warshawsky, Alan M.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 438 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.				KIN	D	DATE			APPLICATION NO.					DATE			
WO	2002	1004	03		A1		2002	1219			2002-				2	0020	524
	W:										, BG,						
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	, EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	, KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK	, SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW							
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE	, IT,	LU,	MC,	NL,	PT,	SE,	TR,
											, GW,						
CA	2448	552			A1		2002	1219		CA	2002- 2002-	2448	552		2	0020	524
ΑU	2002	3161	0.5		A1		2002	1223		AU	2002-	3161	05		2	0020	524
NZ	5295	50			A		2003	1219		NZ	2002-	5295	50		2	0020	524
ΕP	1401	434			A1		2004	0331		EP	2002-	7463	80		2	0020	524
ΕP																	
	R:										, IT,		LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR						
BR	2002	0101	67		A		2004	0406		BR	2002- 2004-	1016	7		2	0020	524
HU	2004	0002	68		A2		2004	0728		HU	2004-	268			2	0020	524
.TP	2005	5026	በበ		т		2005	0127		.TP	2003-	5032	24		2	0020	524
CN	1578	659			A		2005	0209		CN	2002-	8154	53		2	0020	524
ΑT	3451	28			T		2006	1215		ΑT	2002- 2002- 2002-	7463	80		2	0020	524
ES	2275	887			Т3		2007	0616		ES	2002-	7463	80		2	0020	524
US	2005	0753	78		A1		2005	0407		US	2003-	4774	05		2	0031	112
US	7282 2003	501			B2		2007	1016									
$z_{A}$	2003	0090	59		A		2005	0810			2003-						
MX	2003	PA10	903		A		2004	0217			2003-						
	2003				A		2006	0317			2003-						
RITY	APP	LN.	INFO	. :							2001-						
										WO	2002-	US15	143	1	71 2	0020	524

OTHER SOURCE(S): MARPAT 138:39272

PRI

IT 478546-21-3P, 3-[4-[2-(Biphenyl-4-yloxy)ethoxy]-2-[[(2-

pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-22-4P,

Phenoxyphenoxy)ethoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-24-6P, 3-[4-[2-(3-Phenylbenzofuran-6-yloxy)ethoxy]-

<sup>2-[[(2-</sup>pyridylcarbonyl)amino]methyl]phenyl]propionic acid

478546-25-7P, 3-[4-[2-(6-Methoxynaphthalen-2-yloxy)ethoxy]-2-[[(2pyridylcarbonyl)amino[methyl]phenyl]propionic acid 478546-32-6P, 3-[4-[4-(Biphenyl-3-yloxy)butoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phen vl]propionic acid 478546-33-7P, 3-[4-[4-(4-Phenoxyphenoxy)butoxy]-2-[[(2-pyridylcarbony1)amino]methy1]pheny1]propioni c acid 478546-34-8P, 3-[4-[4-(3-Phenylbenzofuran-6-yloxy)butoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl] propionic acid 478546-35-9P, 3-[4-[4-(6-Methoxynaphthalen-2-vloxy)butoxy]-2-[[(2pvridvlcarbonvl)aminolmethvllphenvllpropionic acid 478546-39-3P. 3-[4-[3-(Biphenvl-4-vloxy)propoxy]-2-[[(2-pvridvlcarbonvl)amino]methvl]phe nvl)propionic acid 478546-40-6P, 3-14-13-(Biphenvl-3yloxy)propoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phenyl]propionic acid 478546-41-7P, 3-[4-[3-(6-Methoxynaphthalen-2-yloxy)propoxy]-2-[[(2pyridylcarbonyl)amino[methyl]phenyl]propionic acid 478546-48-4P, 3-[4-[3-(4-Phenoxyphenoxy)propoxy]-2-[[(2-pyridylcarbonyl)amino]methyl]phe nyl]propionic acid RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Uses)
(PPAR modulator; preparation of (oxazolylalkoxyphenyl)propionic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

RN 478546-21-3 CAPLUS

CN Benzenepropanoic acid, 4-[2-([1,1'-biphenyl]-4-yloxy)ethoxy]-2-[[(2pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

RN 478546-22-4 CAPLUS

CN Benzenepropanoic acid, 4-[2-([1,1'-bipheny1]-3-yloxy)ethoxy]-2-[[(2pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

RN 478546-23-5 CAPLUS

N Benzenepropanoic acid, 4-[2-(4-phenoxyphenoxy)ethoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{CH}_2 - \text{CH}_2 - \text{O} \\ \text{CH}_2 - \text{CH}_2 - \text{CO}_2 \\ \end{array}$$

- RN 478546-24-6 CAPLUS
- CN Benzenepropanoic acid, 4-[2-[(3-phenyl-6-benzofuranyl)oxy]ethoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

- RN 478546-25-7 CAPLUS
- CN Benzenepropanoic acid, 4-[2-[(6-methoxy-2-naphthaleny1)oxy]ethoxy]-2-[[(2-pyridinylcarbony1)amino]methy1]- (CA INDEX NAME)

- RN 478546-32-6 CAPLUS
- CN Benzenepropanoic acid, 4-[4-([1,1'-biphenyl]-3-yloxy)butoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

$$\begin{array}{c} Ph \\ O- (CH_2)_4 - O \\ \hline \\ CH_2- CH_2- CO_2H \end{array} \\ \begin{array}{c} O \\ CH_2- CH_2- CO_2H \\ \end{array}$$

- RN 478546-33-7 CAPLUS
- CN Benzenepropanoic acid, 4-[4-(4-phenoxyphenoxy)butoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

RN 478546-34-8 CAPLUS

CN Benzenepropanoic acid, 4-[4-[(3-phenyl-6-benzofuranyl)oxy]butoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

RN 478546-35-9 CAPLUS

CN Benzenepropanoic acid, 4-[4-[(6-methoxy-2-naphthaleny1)oxy]butoxy]-2-[[(2-pyridinylcarbony1)amino]methyl]- (CA INDEX NAME)

RN 478546-39-3 CAPLUS

CN Benzenepropanoic acid, 4-[3-([1,1'-biphenyl]-4-yloxy)propoxy]-2-[[(2pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

RN 478546-40-6 CAPLUS

CN Benzenepropanoic acid, 4-[3-([1,1'-biphenyl]-3-yloxy)propoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

RN 478546-41-7 CAPLUS

CN Benzenepropanoic acid, 4-[3-[(6-methoxy-2-naphthalenyl)oxy]propoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

RN 478546-48-4 CAPLUS

CN Benzenepropanoic acid, 4-[3-(4-phenoxyphenoxy)propoxy]-2-[[(2-pyridinylcarbonyl)amino]methyl]- (CA INDEX NAME)

GI

Title compds. I [wherein n = 2-5; V = a bond or O; X = CH2 or O; p = 0 or 1; m = 1-4; Y1 = (un)substituted (hetero)arv1; Y2 and Y3 = independently H, alkyl, or alkoxy; Y4 = (un)substituted alk(en/yn)ylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R5 = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 3-12-(1,3-dioxo-1,3-dihydroisoindolo-2-vlmethyl)-4hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs2CO3 in DMF. Deprotection of the amine using NaBH4 in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as cardiovascular diseases (no data).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:2234 CAPLUS

DOCUMENT NUMBER: 126:31271

TITLE: Preparation of pyridine moiety-containing sulfonamide

compounds as pharmaceuticals

INVENTOR(S): Tatsugami, Shinichi; Oonishi, Hiroyuki; Morimoto,

Katsumi

PATENT ASSIGNEE(S): Terumo Corp, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08245590 PRIORITY APPLN. INFO.:	A	19960924	JP 1995-49789 JP 1995-49789	19950309 19950309
OTHER SOURCE(S):	MARPAT	126:31271	/ **	

IT 184419-32-7P 184653-31-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)

RN 184419-32-7 CAPLUS

CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4phenyl-4-(3-pyridinyl)butyl]-, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 184653-31-4 CAPLUS

CN Benzenepropanoic acid, 4-[1-[[((4-chlorophenyl)sulfonyl]amino]methyl]-4phenyl-4-(3-pyridinyl)butyl]-, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- IT 184419-61-2P 184419-62-3P 184419-63-4P 184653-33-6P 184653-34-7P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridine moiety-containing sulfonamide compds. as pharmaceuticals)

- RN 184419-61-2 CAPLUS
- CN Benzenepropanoic acid, 4-[1-cyano-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester (CA INDEX NAME)

- RN 184419-62-3 CAPLUS
- CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- RN 184419-63-4 CAPLUS
- CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,R\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 184653-33-6 CAPLUS

CN Benzenepropanoic acid, 4-[1-(aminomethyl)-4-phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 184653-34-7 CAPLUS

CN Benzenepropanoic acid, 4-[1-[[[(4-chlorophenyl)sulfonyl]amino]methyl]-4phenyl-4-(3-pyridinyl)butyl]-, methyl ester, (R\*,S\*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

GI

AB The title compds. I [X = H, halo, etc.; Z = O(CH2)mCH, etc.; R = (CH2)mCO2R', etc.; n, m = 0 - 4; R' = alkyl, H], useful as platelet aggregation and allergy inhibitors, are prepared The title compound II in vitro showed ICSO of 0.039 x 10-6 M against U-46619-induced platelet aggregation.

II

L9 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:509478 CAPLUS

DOCUMENT NUMBER: 125:167791

TITLE: Preparation of pyridylalkylphenylsulfone derivatives

as antithrombotic agents and antiallergic agents
INVENTOR(S): Ohnishi, Hiroyuki; Morimoto, Katsumi; Kitamura, Harue;

Kasukawa, Hiroaki

PATENT ASSIGNEE(S): Terumo Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PRI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619454	A1	19960627	WO 1995-JP2590	19951218
W: AU, CA, CN,	JP, KR,	RU, US		
RW: AT, BE, CH,	DE, DK,	ES, FR, GB,	GR, IE, IT, LU,	MC, NL, PT, SE
AU 9641892	A	19960710	AU 1996-41892	19951218
IORITY APPLN. INFO.:			JP 1994-316279	A 19941220
			WO 1995-JP2590	W 19951218
IDD COUDOD (C)	143 DD 3 M	105 165501		

OTHER SOURCE(S): MARPAT 125:167791

180153-37-1P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reacent); USES (Uses)

(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)

RN 180153-37-1 CAPLUS

CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pvridinyl)butyl]- (CA INDEX NAME)

IT 180153-38-2P 180153-39-3P 180153-40-6P

180153-41-7P 180153-42-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)

RN 180153-38-2 CAPLUS

CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]-, sodium salt (9CI) (CA INDEX NAME)

Na

RN 180153-39-3 CAPLUS

CN Benzenepropanoic acid, 4-[1-[2-[(4-chloropheny1)sulfony1]ethy1]-5-(3-pyridiny1)penty1]- (CA INDEX NAME)

RN 180153-40-6 CAPLUS

CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-6-(3pyridinyl)hexyl]- (CA INDEX NAME)

RN 180153-41-7 CAPLUS

CN Benzenepropanoic acid, 4-[1-[2-(phenylsulfonyl)ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)

- RN 180153-42-8 CAPLUS
- CN Benzenepropanoic acid, 4-[1-[2-[(4-methylphenyl)sulfonyl]ethyl]-4-(3-pyridinyl)butyl]- (CA INDEX NAME)

- IT 180153-36-0P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
    - (synthesis of pyridylalkylphenylsulfone derivs. as thromboxane A2 inhibitors)
- RN 180153-36-0 CAPLUS
- CN Benzenepropanoic acid, 4-[1-[2-[(4-chlorophenyl)sulfonyl]ethyl]-4-(3pyridinyl)butyl]-, ethyl ester (CA INDEX NAME)

GΙ

AB The title compds. I [X = H, OH, NO2, CN, CF3, halo, lower alkyl, lower alkoxy; R = O(CH2)aCO2R1, (CH2)aCO2R1, CR2:CR3CO2R1 or CR2R3CR4R5CO2R1 (R1, R2, R3, R4, R5 = H, lower alkyl;, a = 0-5); h, m, n = 0-5) are prepared A medicinal preparation containing I is also claimed. I possessing thromboxane A2

Ι

and prostaglandin H2 antagonisms and the effect of inhibiting the synthesis of thromboxane A2, is useful as an antithrombotic agent and an antiallergic agent. Thus, I [X = p-C1; R = (CH2)2CO2H; h = 2; m = 0; n = 3] was prepared from p-HCOC6H4CH(OEt)2 in twelve steps and demonstrated a IC50 against thromboxane A2 of 0.25  $\mu$ M.

L9 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:457766 CAPLUS

DOCUMENT NUMBER: 125:114597

TITLE: Preparation of azole derivatives as leukotriene and

thromboxane A2 antagonists

INVENTOR(S): Nagaoka, Hitoshi; Yokota, Masaki; Akane, Hiroaki;

Arakida, Yasuhito; Isomura, Yasuo

PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.			KINE	)	DATE APPLICATION NO.			DATE								
	9611																
	W:	AL,	AM,	AU,	BB,	BG,	BR,	BY,	CA,	CN	, CZ	, EE,	FI,	GE,	HU,	IS,	JP,
		KΕ,	KG,	KR,	KZ,	LK,	LR,	LT,	LV,	MI	, MG	, MK,	MN,	MW,	MX,	NO,	NZ,
												, UA,					
	RW:																
						SE,	BF,	ΒJ,	CF,	CG	, CI	, CM,	GA,	GN,	ML,	MR,	ΝE,
		SN,	TD,	ΤG													
CA	2202 9536 6994	623			A1		1996	0425		CA	1995	-2202	623		1	9951	012
AU	9536	730			A		1996	0506		AU	1995	-3673	0		1	9951	012
AU	6994	76			B2		1998	1203									
EP	7864 7864	57			A1		1997	0730		EP	1995	-9342	80		1	9951	012
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IE	, IT,	LI,	LU,	NL,	PT,	SE
CN	1160 1107 7760 3810	397			A		1997	0924		CN	1995	-1956	49		1	9951	012
CN	110/	059			В		2003	0430									
HU	7760	9			A2		1998	0629		HU	1997	-2271	0000		1	9951	012
TW	3061	88			В		2000	0201		TW	1995	-8411	0 / 0 1		1	9951	012
JP	3061	862			BZ		2000	0710		JP	1996	-5130	192		1	9951	012
RU	2161	012			C2		2001	0110		KU	1997	-1074	5 /		1	9951	012
AI	2161 2181 9701	52			1		2002	0613		AI	1995	-9342	80		1	9951	012
F I	9701	210			A		1007	0613		F T	1997	-1510			1	9970	411
NO	3092	600			D 1		2001	0013		NO	1997	-1000			1	.9910	411
	5981				D.T.		1000	1100		TTC	1007	-8094	00		-1	0070	016
TORIT					A		1222	1109				-2494					
TOKII	ı npp	DIN.	TIME									-2511					
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	211202				\/3.DF		105			WO.	1990	-0220	00		ve 1	2231	UIZ

OTHER SOURCE(S): MARPAT 125:114597

IT 179103-10-7P 179103-23-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azole derivs. as leukotriene and thromboxane A2 antagonists for disease therapy)

RN

179103-10-7 CAPLUS
Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[6-[[4-(1,1-CN dimethylethyl)-2-thiazolyl]methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]-, ethyl ester (9CI) (CA INDEX NAME)

RN 179103-23-2 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[[6-[[4-(1,1-dimethylethyl)-2-thiazolyl]methoxy]-2-pyridinyl]carbonyl]amino]phenoxy]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{t-Bu} & \text{N} & \text{CH}_2-\text{O} \\ & \text{N} & \text{CH}_2-\text{O} \\ & \text{NH} \\ & \text{HO}_2\text{C}-\text{CH}_2-\text{O} \\ & \text{O} \\ & \text{O} \end{array}$$

GI

- AB Thiazole- or oxazole-containing benzanilide derivs. represented by general formula [I; R1, R2 = H, cycloalkyl, (un)substituted lower alkyl, (un) substituted aryl; or R1R2 = CH:CHCH:CH or (CH2)4 to complete a condensed ring which may be substituted by optionally substituted lower alkyl, amino, etc.; R3, R6, R7, R8 = H, amino, cyano, NO2, OH, halo, lower alkoxy, (un) substituted lower alkyl; R4 = cyano, tetrazolyl, CO2H or its ester, E-NH-F-R10; wherein E = single bond, CO; F = single bond, lower alkylene; R10 = H, CONH2, mono- or dialkylcarbamoyl, CO2H, lower alkoxycarbonyl, optionally alkyl-substituted arylcarbonyl, lower alkanoyl, lower alkylsulfonyl, optionally alkyl-substituted arylsulfonyl; R5 = H or lower alkyl; D = optionally substituted lower alkylene; X, Z = 0, S; Y = N, CH; A O-B, B-O, S-B, B-S or B (wherein B = lower alkylene or lower alkenylene); n = 0, 1 or 2] or pharmaceutically acceptable salts thereof, are prepared These compds. I have both of a leukotriene antagonistic effect and a thromboxane A2 antagonistic effect and are useful in preventing or treating allergic diseases (in particular, bronchial asthma, allergic rhinitis, or nettle rash), ischemic heart diseases, or ischemic brain diseases. Thus, a thiazole containing benzanilide derivative (II; R = H, R1 =
- Ph,

  A = CH:CH) (preparation given) was dissolved in DMF, treated successively with K2CO3, Bu4NBr, and Et bromoacetate, and stirred at room temperature for 12 h to give the title compound II (R = CH2CO2EL, R1 = Ph, A = CH:CH). II (R = CH2CO2E, R1 = CMe3, A = CH2CO) showed IC50 of 0.055 µM for inhibiting the U-46619 (stable analog of thromboxane A2)-induced aggregation of guinea pig's platelet rich plasma. II (R = CH2CO2H, R1 = cyclobuty), A = CH2CO at 10 mg/kg p.o. in vivo inhibited by 72% the U-46619-induced respiratory tract resistance in quinea pigs.

L9 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:427840 CAPLUS

DOCUMENT NUMBER: 119:27840

TITLE: Preparation of phenoxyacetic acids and TXA2

antagonists containing them

INVENTOR(S): Maeda, Sachiko; Igarashi, Azuma; Sugizaki, Katsuyoshi;

Suzuki, Myoshi; Ozawa, Shinji

PATENT ASSIGNEE(S): Terumo Corp, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 05032613 A 19930209 JP 1991-188730 19910729
PRIORITY APPLM. INFO:: DATE
OTHER SOURCE(S): MARPAT 119:27840

IT 148066-76-6P 148066-77-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as TXA2 antagonist)

RN 148066-76-6 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[(2-pyridinylcarbonyl)amino]phenoxy]- (9CI) (CA INDEX NAME)

RN 148066-77-7 CAPLUS

CN Acetic acid, [4-[3-[(4-chlorophenyl)sulfonyl]propyl]-2-[[3-(2-pyridinylmethoxy)benzoyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

G.

AB The title compds. I (A = Me, Ph, 2-pyridyl; Rl = H, Me, Et; R2 = H, phenyl-, pyridyl-, naphthyl-lower-alkayl; X = H, halo, lower alkyl, CF3, alkoxy, OH, cyano; n = 0-2) or their physiol. acceptable salts, useful as therapeutic and prophylactic antiallergy agents and antithrombotics, are prepared Treatment of 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-benzoylaminophenol (preparation given) with Bt bromoacetate and K2CO3 in acetone at room temperature for 5 h gave 94% Et 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-(benzoylamino)phenoxyacetate, which was hydrolyzed with 2N NaOH in THF at 0° for 2.5 h to afford 95% 4-[3-(4-chlorobenzenesulfonyl)propyl]-2-(benzoylamino)phenoxyacetic acid. The product inhibited U-46619-induced smooth muscle contraction with IC50 of 5.7 + 10-9 M. LD50 of several phenoxyacetates was >300 mg/kg p.o. in male mice.

L9 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:590030 CAPLUS 109:190030

DOCUMENT NUMBER:

TITLE: Phenoxycaproic acid derivatives for treatment of

hyperlipemia and geriatric diorders

INVENTOR(S): Kawakami, Mari; Yoneda, Seiji; Morishita, Shinichi;

Saito, Takashi

PATENT ASSIGNEE(S): Kyushin Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkvo Koho, 7 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63104939	A	19880510	JP 1986-247510	19861020
JP 05088693	В	19931224		
PRIORITY APPLN. INFO.:			JP 1986-247510	19861020
OTHER SOURCE(S):	CASREA	CT 109:19003	30; MARPAT 109:190030	
IT 113795-23-6P				

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as antihyperlipemic and geriatric disease agent) 113795-23-6 CAPLUS

Hexanoic acid, 2,2-dimethyl-6-[4-(4-phenylbutyl)phenoxy]-,

3-pyridinylmethyl ester (CA INDEX NAME)

AB Title derivs. I (R1, R2 = H, Me; R3 = H, Me, Et, 3-pyridinylmethyl, 2-methyl-5-piperazinylmethyl) are prepared 4-(4-Phenylbutyl)phenol was stirred in a suspension of THF containing NaH, then 1-bromo-4-chlorobutane was added and the mixture was refluxed for 10 h to give 84% 4-[4-(4phenylbutyl)phenoxy|butyl chloride, which was treated with lithiated Na isobutyrate at room temperature for 4 h to give 76% I (R1 = R2 = R3 = H) (II). Rats were orally fed for 2 wk with a high-fat diet containing cholesterol 1, bile acid 1, and cottonseed oil 6% and 100 mg/kg-day II was administered orally to show total cholesterol, high-d. lipoprotein cholesterol in blood, and liver weight of the rats to be (138.4 ± 8.0) mg/dL, (51.2 ± 2.3) mg/dL, and (51.4  $\pm$  2.0) mg/g-body weight, resp., vs., 325.6  $\pm$ 48.3, 43.8  $\pm$  4.5, and 57.2  $\pm$  2.1, resp., for a control, 238.9  $\pm$ 

15.5, 56.7  $\pm$  5.0, 59.6  $\pm$  1.8, resp., for gemfibrozil, and 176.8  $\pm$  15.5, 40.6  $\pm$  5.1, and 57.8  $\pm$  2.0, resp., for clofibrate.

L9 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:221371 CAPLUS

DOCUMENT NUMBER: 108:221371

TITLE: Synthesis and hypolipidemic activity of 2-substituted

isobutyric acid derivatives

AUTHOR(S): Morishita, Shinichi; Saito, Takashi; Hirai, Yasuharu; Shoji, Masamichi; Mishima, Yasuhiro; Kawakami, Masato CORPORATE SOURCE: Res. Lab., Kyushin Pharm. Co., Ltd., Tokyo, 166, Japan

SOURCE: Journal of Medicinal Chemistry (1988), 31(6), 1205-9

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:221371

IT 113795-23-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and hypolipidemic activity of)

RN 113795-23-6 CAPLUS

CN Hexanoic acid, 2,2-dimethyl-6-[4-(4-phenylbutyl)phenoxy]-, 3-pyridinylmethyl ester (CA INDEX NAME)

GI

AB A series of 2-substituted isobutyric acid derivs., e.g. I (n = 0-6, m = 3-10, R = H; n = m = 4, R = 3-pyridylmethyl, 3-methyl-5-pyrazinylmethyl), have been synthesized and evaluated as hypolipidemic agents. I (n = m = 4, R = H, 3-pyridylmethyl) were found to decrease the level of plasma total cholesterol in exptl. hyperlipemic rats to a greater extent than clofibrate (CF) and to increase the level of plasma high-d. lipoprotein cholesterol to the same extent as gemfibrozil (GF). Increases in liver weight caused by these compds. were less than those with CF and GF.

L9 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:22564 CAPLUS Correction of: 1978:475314

DOCUMENT NUMBER: 90:22564

Correction of: 89:75314

ORIGINAL REFERENCE NO.: 90:3715a,3718a

TITLE: Substituted 2-propanol derivatives and their nicotinic acid esters

INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef;

KIND DATE

Hofrichter, Gernot; Janiak, P. Stefan

PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co., Fed. Rep. Ger.

APPLICATION NO

DATE

SOURCE: Ger. Offen., 41 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION: DATENT NO

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2460689	A1	19760701	DE 1974-2460689	19741220
DE 2460689	B2	19791018		
DE 2460689	C3	19800626		
CA 1065870	A1	19791106	CA 1975-241890	19751211
DD 123597	A5	19770105	DD 1975-190187	
CH 622487	A5	19810415	CH 1975-16303	19751216
DK 7505732	A	19760621	DK 1975-5732	19751217
SE 7514271	A	19760621	SE 1975-14271	19751217
NL 7514696	A	19760622	NL 1975-14696	19751217
NL 171356	В	19821018		
NL 171356	C	19830316		
FR 2294691	A1	19760716	FR 1975-38741	19751217
FR 2294691	B1	19780728		
AU 7587623	A	19770623	AU 1975-87623	19751217
ZA 7507912	A	19761229	ZA 1975-7912	19751218
US 4073935	A	19780214	US 1975-641982	19751218
AT 7509643	A	19790315	AT 1975-9643	19751218
AT 352699	В	19791010		
BE 836870	A1	19760416	BE 1975-162937	19751219
GB 1516747	A	19780705	GB 1975-52228	19751219
HU 173345	В	19790428	HU 1975-KI732	19751219
JP 51125238	A	19761101	JP 1975-152705	19751220
PL 97422	B1	19780228	PL 1975-185748	19751220
JP 57005770	В	19820201	JP 1976-3979	19760116
GB 1531695	A	19781108	GB 1977-24008	19770608
GB 1533820	A	19781129	GB 1977-24010	19770608
US 4109013	A	19780822	US 1977-849766	19771109
US 4144351	A	19790313	US 1977-849765	19771109
AT 7802641	A	19790315	AT 1978-2641	19780414
PRIORITY APPLN. INFO.:			DE 1974-2460689	A 19741220
			AT 1975-9643	A 19751218
			US 1975-641982	A3 19751218
			GB 1975-52228	A 19751219
			DE 1976-2625688	A 19760608
			DE 1976-2625689	A 19760608

OTHER SOURCE(S): MARPAT 90:22564

IT 60377-85-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

- RN 60377-85-7 CAPLUS
- CN 3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3-oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME)

AB 4-RC6H4ZCH2CH(OH)CH2ZlC6H4R1 (I; R = Cl, CMe3; Rl = CO2Me, CH:CHCO2Me, CONHOH, I,3-dioxolana-2-yl, etc.; Z, Zl = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxyepoxypropane or an aniline with a chloropropanol. Thus, 4-HOC6H4CO2Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 h to give 74.4% I (R = Cl, Rl = CO2Me, Z = Zl = O) (II). About 120 I were prepared having hypolipemic activity, e.g., II showed 63.8 ± 17.2% serum triciveride lowering in the rat.

L9 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:475314 CAPLUS Correction of: 1976:523579

89:75314 DOCUMENT NUMBER: Correction of: 85:123579

89:11571a,11574a ORIGINAL REFERENCE NO.: TITLE:

Substituted 2-propanol derivatives and their nicotinic acid esters

INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef; Hofrichter, Gernot; Janiak, P. Stefan

PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co.,

Fed. Rep. Ger. SOURCE: Ger. Offen., 41 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2460689		19760701	DE 1974-2460689	19741220

60377-85-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and hypolipemic activity of)

60377-85-7 CAPLUS RN

CN 3-Pvridinecarboxvlic acid, 2-(4-chlorophenoxv)-1-[[4-(3-methoxv-3oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME)

4-RC6H4ZCH2CH(OH)CH2Z1C6H4R1 (I; R = C1, Me3C; R1 = C02Me, CH:CHC02Me, AB CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z1 = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxyepoxypropane or an aniline with a chloropropanol. Thus, 4-HOC6H4CO2Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 h to give 74.4% I (R = C1, R1 = 4-C02Me, Z = Z1 = 0) (II). About 120 I were prepared having hypolipemic activity, e.g., II showed  $63.8\pm7.2\%$  serum triglyceride lowering in the rat.

L9 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1976:523579 CAPLUS

DOCUMENT NUMBER: 85:123579 ORIGINAL REFERENCE NO.: 85:19829a,19832a

Substituted 2-propanol derivatives and their nicotinic TITLE: acid esters

INVENTOR(S): Grill, Helmut; Zschocke, Rainer H.; Wagner, Josef; Hofrichter, Gernot; Janiak, P. Stefan

PATENT ASSIGNEE(S): Chemisch-Pharmazeutische Fabrik Adolf Klinge und Co.,

Fed. Rep. Ger.

SOURCE: Ger. Offen., 41 pp.

CODEN: GWXXBX DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE DE 2560689 19760701 DE 1974-2460689 19741220

60377-85-7P ΙT RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 60377-85-7 CAPLUS CN 3-Pyridinecarboxylic acid, 2-(4-chlorophenoxy)-1-[[4-(3-methoxy-3oxopropyl)phenoxy]methyl]ethyl ester (CA INDEX NAME)

4-RC6H4ZCH2CH(OH)CH2Z1C6H4R1 (I; R = C1, Me3C; R1 = C02Me, CH:CHC02Me, CONHOH, 1,3-dioxolan-2-yl, etc.; Z, Z1 = O, S, NH) and their nicotinate esters were prepared by the reaction of a phenol with a phenoxyepoxypropane or an aniline with a chloropropanol. Thus, 4-HOC6H4CO2Me was refluxed with 3-(4-chlorophenoxy)-1,2-epoxypropane in KOH-MeOH for 21 hr to give 74.4% I (R = Cl, R1 = CO2Me, Z = Z1 = O) (II). About 120 I were prepared having hypolipemic activity, e.g., I showed 63.8 ± 17.2% serum triglyceride lowering in the rat.

---Logging off of STN---

**#**>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	85.57	276.88
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-10.40	-10.40

STN INTERNATIONAL LOGOFF AT 12:41:37 ON 26 FEB 2008